

Amendments to the Specification:

On page 1, after the title, insert the following new paragraph:

CROSS-REFERENCE TO RELATED APPLICATION

This application is the U.S. national phase of PCT Appln. No. PCT/EP2004/008197 filed July 22, 2004, which claims priority to German application 103 35 061.6, Filed July 31, 2003.

At page 1, line 4, please add the following heading and subheading as shown below:

BACKGROUND OF THE INVENTION

1. Field of the Invention

At page 1, line 16, please add the following subheading as shown below:

2. Description of the Related Art

At page 2, line 8, please amend the paragraph as shown below:

~~The Lewis acids frequently used, are, besides~~ in addition to metal halides and alcoholates, and transition metal halides and alcoholates[[,]] such as, ~~for example,~~ SnCl₄, TiCl₄ or TiCl₂(OiPr)₂, ~~frequently also are~~ silyl derivatives of perfluoro sulfonic acids such as, ~~for example,~~ trimethylsilyl trifluoromethanesulfonate or trialkylsilyl halides such as, ~~for example,~~ iodotrimethylsilane.

At page 2, line 17, please amend the paragraph as shown below:

It is assumed that the mechanism in the case of ribose derivatives (i.e. 2'-substituted sugar units) involves the formation of a cation from the sugar unit comprising a leaving group in position 1', ~~such as~~, for example, acetate, by the neighboring group effect under the influence of the Lewis acid, ~~which~~ the cation reacts reacting in the second step with the silylated nucleobase. In the case of silyl halides such as iodotrimethylsilane, WO 01/58894 postulates initial replacement of the leaving group by halide, ~~such as~~, for example, iodide. The iodine compound which is formed is then reacted with the silylated nucleobase.

At page 3, line 36, please amend the paragraph as shown below:

WO 01/58894 describes the production of DAPD and its enantiomers by applying the method disclosed in WO 97/21706 to the reaction of 4-acetoxy-2-benzoyloxymethyl-1,3-dioxolane with 2-amino-6-chloropurine (carried out at -15°C). The product which has been purified by column chromatography and has a β : α isomer ratio of 2.3:1 is then converted by reaction with ~~methanatic~~ methanolic ammonia and subsequent column chromatography into DAPD with a β : α isomer ratio of 2:1. The disadvantage here is once again the use of costly 2-amino-6-chloropurine and the repeated employment of column chromatography.

At page 4, line 9, please add the following heading as shown below:

SUMMARY OF THE INVENTION

At page 4, line 10, please amend the paragraph as shown below:

The invention was based on the object of providing a cost-effective method which is easy to implement industrially for producing OH-protected [4-(2,6-diamino-9H-purin-9-yl)-1,3-dioxolan-2-yl]methanol derivatives in racemic or optically pure form, which is based on the

S/N: Unknown

direct reaction of 2,6-diaminopurine or of a mono- or polysilylated 2,6-diaminopurine or derivative[[s]] thereof.

At page 19, please amend the paragraph and add the heading as shown below:

It has now been ~~found~~, surprisingly discovered, that direct reaction of 2,6-diaminopurine or of a mono- or polysilylated 2,6-diaminopurine or derivative[[s]] thereof takes place with high chemical yield[[s]] and, where appropriate, high stereoselectivity, without elaborate purification steps, when at least one auxiliary in the form of a 1,3-dicarbonyl compound or of a silylated derivative of a 1,3-dicarbonyl compound is present in the reaction mixture during the glycosylation reaction.

DETAILED DESCRIPTION OF THE PREFERRED EMBODIMENT(S)

At page 7, line 10, please amend the paragraph as shown below:

Acyl radicals for R¹ are moreover preferably derived from an aromatic or aliphatic carboxylic acid having from 2 to 20 C atoms, particularly preferably from the group comprising benzoyl-, n-butyryl-, isobutyryl- (2-methylpropionyl-), pivaloyl-, propionyl- and acetyl-radicals.

At page 7, line 16, please amend the paragraph as shown below:

Alkyl radicals for R¹ preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising methyl-, ethyl- and propyl-radicals.

At page 7, line 20, please amend the paragraph as shown below:

Alkoxyalkyl radicals for R¹ preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising methoxymethyl-, 1-ethoxyethyl- and 2-methoxyethoxymethyl-radicals.

At page 7, line 25, please amend the paragraph as shown below:

Arylalkyl radicals for R¹ preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising benzyl-, 4-methoxybenzyl- and triphenylmethyl-radicals.

At page 7, line 30, please amend the paragraph as shown below:

Arylalkoxyalkyl radicals for R¹ moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising benzyloxymethyl- and 4-methoxybenzyloxymethyl-radicals.

At page 7, line 35, please amend the paragraph as shown below:

Silyl radicals for R¹ may comprise on the Si atom generally aliphatic and/or aromatic substituents having in each case from 1 to 20 C atoms, in particular having in each case from 1 to 10 C atoms. Preferred radicals are those from the group comprising trimethylsilyl-, triethylsilyl-, triisopropylsilyl-, tert-butyldimethylsilyl- and tert-butyldiphenylsilyl-radicals.

At page 8, line 19, please amend the paragraph as shown below:

Acyloxy radicals for X moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising acetoxy-, benzyloxy-, propionyloxy-, n-butyryloxy- and trifluoroacetoxy-radicals. Acetoxy[[-]] is very particularly preferred.

At page 8, line 26, please amend the paragraph as shown below:

Alkylsulfonyloxy radicals for X moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising methanesulfonyloxy-, trifluoromethanesulfonyloxy- and nonafluorobutylsulfonyloxy-radicals.

At page 8, line 32, please amend the paragraph as shown below:

Arylsulfonyloxy radicals for X moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising p-toluenesulfonyloxy-(tosyl-), p-bromobenzenesulfonyloxy- and p-nitrobenzenesulfonyloxy-radicals.

At page 8, line 38, please amend the paragraph as shown below:

Alkoxy radicals for X moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising methoxy- and ethoxy-radicals.

At page 9, line 4, please amend the paragraph as shown below:

Aryloxy radicals for X moreover particularly preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising phenoxy-, 4-nitrophenoxy- and 2,4,6-trinitrophenoxy-radicals.

At page 9, line 30, please amend the paragraph as shown below:

The silyl radicals for R¹² moreover usually comprise aliphatic and/or aromatic substituents each having from 1 to 20 C atoms; in particular each having from 1 to 10 C atoms, on the Si atom. Preferred radicals are those from the group comprising trimethylsilyl-, triethylsilyl-, triisopropylsilyl-, tert-butyldimethylsilyl- and tert-butyldiphenylsilyl-radicals. Trimethylsilyl[[-]] is particularly preferred.

At page 10, line 21, please amend the paragraph as shown below:

Acyl radicals as amino protective group are moreover preferably derived from an aromatic or aliphatic carboxylic acid having from 2 to 20 C atoms, with particular preference for the radicals from the group comprising benzoyl-, acetyl- and formyl-radicals.

At page 10, line 27, please amend the paragraph as shown below:

Acyloxycarbonyl radicals as amino protective group preferably have in this connection from 2 to 20 C atoms, with particular preference for radicals from the group comprising tert-butyloxycarbonyl- (BOC-), 9-fluorenylmethyloxycarbonyl- (Fmoc-) and benzyloxycarbonyl- (Z-)radicals.

At page 10, line 34, please amend the paragraph as shown below:

Alkyl radicals as amino protective group moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising methyl- and allyl-radicals.

At page 11, line 1, please amend the paragraph as shown below:

Arylalkyl radicals as amino protective group moreover preferably consist of from 1 to 20 C atoms, with particular preference for radicals from the group comprising benzyl- and 4-methoxybenzyl-radicals.

At page 11, line 6, please amend the paragraph as shown below:

Silyl radicals as amino protective group may in this connection comprise aliphatic and/or aromatic substituents each having from 1 to 20 C atoms, in particular each having from 1 to 10 C atoms, on the Si atom. Preferred radicals are those from the group comprising trimethylsilyl-, triethylsilyl-, triisopropylsilyl-, tert-butyldimethylsilyl- and tert-butyldiphenylsilyl-radicals. Trimethylsilyl[-] is particularly preferred.

At page 13, line 1, please amend the paragraph as shown below:

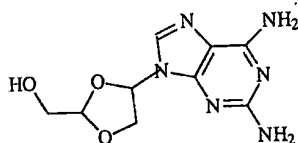
Particularly preferred silyl derivatives of 1,3-dicarbonyl compounds are those from the group comprising methyl 3-trimethylsilyloxyacrylate, ethyl 3-trimethylsilyloxyacrylate, 4-trimethylsilyloxypent-3-en-2-one, 4-triethylsilyloxypent-3-en-2-one, 4-(tert-butyltrimethylsilyloxy)pent-3-en-2-one, 4-(tert-butylphenylsilyloxy)pent-3-en-2-one, methyl 3-trimethylsilyloxybut-2-enoate, ethyl 3-trimethylsilyloxybut-2-enoate, tert-butyl 3-trimethylsilyloxybut-2-enoate, ~~methyl 3-trimethylsilyloxybut-2-enoate, ethyl 3-trimethylsilyloxybut-2-enoate and tert-butyl 3-trimethylsilyloxybut-2-enoate.~~

At page 17, line 22, please amend the paragraph as shown below:

In a preferred embodiment of the method of the invention optically pure reaction products can be prepared having the optical configurations of the general formulae (1a), (1b), (1c) and (1d), in which all the radicals R^8 , R^9 , R^{10} and R^{11} are ~~hydrogen~~ hydrogen, by the selection of appropriately optically configured precursors. The method can be used very particularly preferably for producing products having the optical configuration of the general formula 1a in which case all the radicals R^8 , R^9 , R^{10} and R^{11} are hydrogen.

At page 18, line 34, please amend the paragraph as shown below:

Thus, the invention further relates to the use of the compounds of the general formula (1) obtained by the method of the invention for producing compounds of the general formula [(5)] (6)



Formula [(5)] (6).

S/N: Unknown

At page 19, line 14, please amend the paragraph as shown below:

The compounds of the general formula ~~(5)~~ (6) may be obtained in racemic form or in optically pure form. The products of the general formula (1) produced in optically pure form according to the invention can in particular be converted into optically pure compounds of the general formula ~~(5)~~ (6).